

Translation

PATENT COOPERATION TREATY

PCT/EP2003/009252



# PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 2002DE308 PCT	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP2003/009252	International filing date (day/month/year) 21 August 2003 (21.08.2003)	Priority date (day/month/year) 31 August 2002 (31.08.2002)
International Patent Classification (IPC) or national classification and IPC C07F 1/02		
Applicant CLARIANT GMBH		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.  
☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 3 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 24 January 2004 (24.01.2004)	Date of completion of this report 27 August 2004 (27.08.2004)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP2003/009252

## I. Basis of the report

### 1. With regard to the elements of the international application:\*

- ☐ the international application as originally filed
- ☒ the description:  
 pages 1-16, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☒ the claims:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, as amended (together with any statement under Article 19  
 pages \_\_\_\_\_, filed with the demand  
 pages 1-8, filed with the letter of 17 July 2004 (17.07.2004)
- ☐ the drawings:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

### 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

### 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

### 4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

### 5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.  
PCT/EP 03/09252

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims	1 - 8	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1 - 8	NO
Industrial applicability (IA)	Claims	1 - 8	YES
	Claims		NO

## 2. Citations and explanations

Reference is made in the present report to the following search report citations (D); the same numbering will be used throughout the procedure.

D1: Schlosser M.: "Displacement of Halogens" (April 2002) in "Organometallics in Synthesis, A Manual", pages 86-112 and 314-324

D2: Schlosser M.: "Displacement of Halogens" (April 2002) in "Organometallics in Synthesis, A Manual", pages 223-247 and 341-347

D3: WO-A-0064905

D4: WO-A-03033503

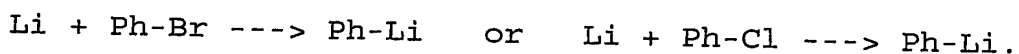
D5: J. Am. Chem. Soc. (1975), 75, pages 3697-3700

3. Document D4 does not relate to a method in which Li-Ar is produced in the first step. For this reason, D4 would not be considered a prior art document within the meaning of EPC Article 54(3) in any regional European procedure.

Document D4 would also not be considered prior art within the meaning of EPC Article 54(2) if the priority of the present application is valid.

4. Steps 1-3 according to the invention are already known from document D1, pages 86 and 100 in conjunction with tables 18-22.

Step 1 is described *inter alia* in the second box on page 100:



The overall reaction 1-2 or 1-3 can be seen, for example, from table 20. Step 3 as an intramolecular reaction is shown below as a chemical equation on pages 111 and 112.

Document D2 is an excerpt from the same book as D1 and describes steps 2-3; see the examples on pages 229, 238 and 241 in which  $\text{LiC}_6\text{H}_5$  stands for the intermediate product M-R'. Claims 1-8 are novel with respect to document D1, alone or in combination with D2, as a result of the term "one-pot reaction".

5. Document D3 (see example 1 and the table on page 11 in conjunction with page 7, line 10) describes carrying out the claimed steps 2 and 3 in a one-pot process. However, according to D3, step 1 is carried out using an alkyl sodium compound. Yet the claimed step 1, which uses aryl lithium, is not only already known from D1, page 86, or from D5, but it is even recommended on page 86 and especially at the bottom of page 87 ("Freeman's reagent") for accelerating the reaction and thus avoiding secondary reactions. Claims 1-8 are therefore suggested by the combination of D1 and D3 or D3 and D5. If the claimed method has a surprising effect, it does not suffice to mention it in the description; it must also be substantiated by means of comparative examples with respect to the closest prior art (in this case: D3).

## 6. Further observations:

The final paragraph on page 4 (acknowledgement of D4) and step 3 of equation I on page 2 of the description should be corrected. Moreover, the description should be adapted to the wording of the claims. For example, see page 9, paragraph 3: one-pot is henceforth obligatory and no longer optional.

Contrary to PCT Rule 5.1(a)(ii), the description does not cite the prior art that was known before the priority date; e.g. D3.